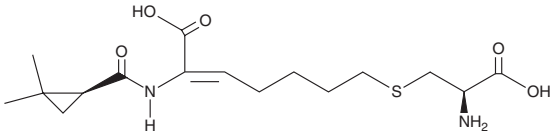
PRODUCT INFORMATION

Cilastatin

Item No. 23511

CAS Registry No.:	82009-34-5
Formal Name:	(2Z)-7-[[(2R)-2-amino-2-carboxyethyl]thio]-2-[[[(1S)-2,2-dimethylcyclopropyl]carbonyl]amino]-2-heptenoic acid
MF:	$C_{16}H_{26}N_2O_5S$
FW:	358.5
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Cilastatin is supplied as a crystalline solid. A stock solution may be made by dissolving the cilastatin in the solvent of choice, which should be purged with an inert gas. Cilastatin is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of cilastatin in these solvents is approximately 12.5 mg/ml.

Description

Cilastatin is an inhibitor of dipeptidase (dehydropeptidase I), a renal dipeptidase.[1] It inhibits human renal dipeptidase (K_i = 0.7 µM), porcine dipeptidase (IC_{50} = 0.11 µM), and bacterial metallo-β-lactamase CphA from *A. hydrophila* (IC_{50} = 178 µM).[1-3] Cilastatin (200 µg/ml) protects primary porcine renal proximal tubular epithelial cells from nephrotoxicity and apoptosis induced by vancomycin (Item No. 15327).[4] In a mouse model of systemic infection, cilastatin in combination with imipenem (Item No. 16039) protects mice from *S. aureus*, *E. coli*, and *P. aeruginosa* infection.[5] Cilastatin was designed to inhibit renal metabolism of imipenem and prolong its half-life.[2] Formulations containing cilastatin in combination with imipenem have been used to treat susceptible bacterial infections.

References

1. Campbell, B.J., Forrester, L.J., Zahler, W.L., *et al.* Beta-lactamase activity of purified and partially characterized human renal dipeptidase. *J. Biol. Chem.* **259(23)**, 14586-14590 (1984).
2. Kahan, F.M., Kropp, H., Sundelof, J.G., *et al.* Thienamycin: Development of imipenen-cilastatin. *J. Antimicrob. Chemother.* **12(Suppl. D)**, 1-35 (1983).
3. Keynan, S., Hooper, N.M., Felici, A., *et al.* The renal membrane dipeptidase (dehydro-peptidase I) inhibitor, cilastatin, inhibits the bacterial metallo-β-lactamase enzyme CphA. *Antimicrob. Agents Chemother.* **39(7)**, 1629-1631 (1995).
4. Humanes, B., Jado, J.C., Camaño, S., *et al.* Protective effects of cilastatin against vancomycin-induced nephrotoxicity. *Biomed Res. Int.* 704382 (2015).
5. Petersen, P.J., Jacobus, N.V., Weiss, W.J., *et al.* *In vitro* and *in vivo* activities of LJC10,627, a new carbapenem with stability to dehydropeptidase I. *Antimicrob. Agents Chemother.* **35(1)**, 203-207 (1991).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM